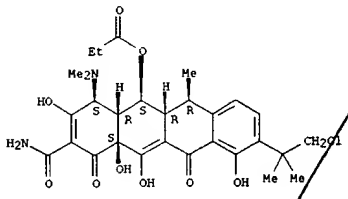
L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1999:487218 CAPLUS
DOCUMENT NUMBER: 131:116106
TITLE: synthesis and antibacterial activity of tetracycline compds.
INVENTOR(S): Levy, Stuart B.; Nelson, Mark L.
PATENT ASSIGNEE(S): Trustees of Tufts College, USA
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9937307	A1	19990729	WO 1999-US1393	19990122
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9924660	A1	19990809	AU 1999-24660	19990122
PRIORITY APPLN. INFO.:			US 1998-PV72262	19980123
			WO 1999-US1393	19990122

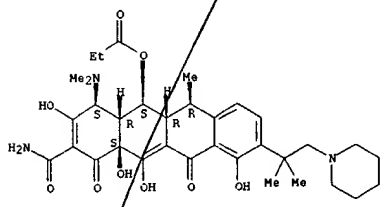
OTHER SOURCE(S): MARPAT 131:116106
AB Synthesis of substituted tetracycline compds. (I) (R1 = alkyl, alkenyl, alkynyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylamino, arylalkyl; R2 = alkanoyl, aroyl, alkylaroyl, carbacyclic aryl, heteroarom., alkyl, alkenyl, alkynyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylamino, arylalkyl; R3 = H, alkyl, alkenyl, alkynyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylamino, arylalkyl, carbacyclic aryl, heteroarom., heteroalicyclic) that exhibit significant antibacterial activity, including gram-pos. and gram-neg. bacteria, and gram-pos. and gram-neg. tetracycline sensitive and tetracycline resistant bacteria is presented. Thus, I (R1 = Me3C, R2 = EtCO, R3 = H) (II) was prepd. in two steps by acylation of doxycycline with propionic acid followed by alkylation with t-butanol. II showed and MIC of 6.25 .mu.g/mL against methicillin resistant S. aureus.
IT 233585-95-0P 233586-00-0P 233586-01-1P 233586-02-2P 233586-14-6P 233586-23-7P 233586-24-8P 233586-26-0P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and antibacterial activity of tetracycline compds.)

L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2000 ACS (Continued)



RN 233586-01-1 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-9-[1,1-dimethyl-2-(1-piperidinyl)ethyl]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-5-(1-oxopropoxy)-, (4S,4aR,5S,5aR,6R,12aS)- (9CI) (CA INDEX NAME)

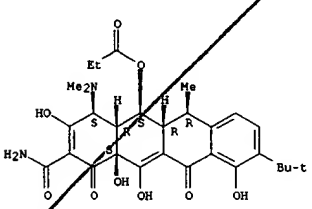
Absolute stereochemistry.



RN 233586-02-2 CAPLUS
CN 2-Naphthacenecarboxamide, 5-(acetyloxy)-4-(dimethylamino)-9-(1,1-dimethylethyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-, (4S,4aR,5S,5aR,6R,12aS)- (9CI) (CA INDEX NAME)

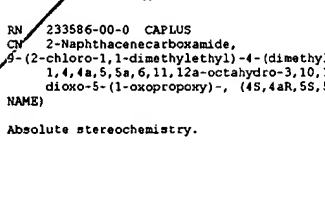
Absolute stereochemistry.

L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2000 ACS (Continued)



RN 233585-95-0 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-9-(1,1-dimethylethyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-5-(1-oxopropoxy)-, (4S,4aR,5S,5aR,6R,12aS)- (9CI) (CA INDEX NAME)

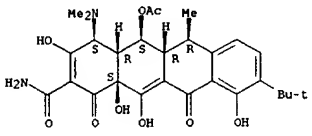
Absolute stereochemistry.



RN 233586-00-0 CAPLUS
CN 2-Naphthacenecarboxamide, 9-(2-chloro-1,1-dimethylethyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-5-(1-oxopropoxy)-, (4S,4aR,5S,5aR,6R,12aS)- (9CI) (CA INDEX NAME)

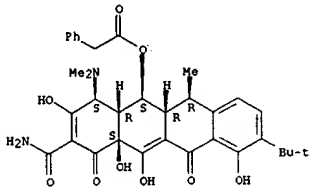
Absolute stereochemistry.

L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2000 ACS (Continued)



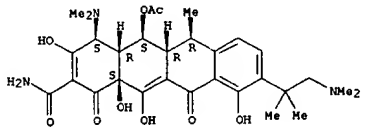
RN 233586-14-6 CAPLUS
CN Benzeneacetic acid, (4S,4aR,5S,5aR,6R,12aS)-2-(aminocarbonyl)-4-(dimethylamino)-9-(1,1-dimethylethyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-5-naphthacenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



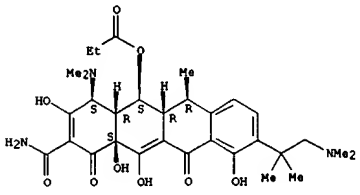
RN 233586-23-7 CAPLUS
CN 2-Naphthacenecarboxamide, 5-(acetyloxy)-4-(dimethylamino)-9-[2-(dimethylamino)-1,1-dimethylethyl]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-, (4S,4aR,5S,5aR,6R,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



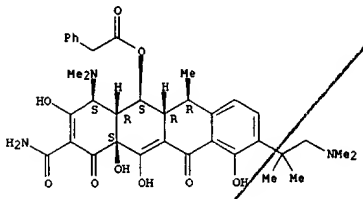
L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2000 ACS (Continued)
RN 233586-24-8 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-9-[2-(dimethylamino)-1,1-dimethylethyl]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-5-(1-oxopropoxy)-, (4S,4aR,5S,5aR,6R,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 233586-26-0 CAPLUS
CN Benzeneacetic acid, (4S,4aR,5S,5aR,6R,12aS)-2-(aminocarbonyl)-4-(dimethylamino)-9-[2-(dimethylamino)-1,1-dimethylethyl]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-5-naphthacenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7
REFERENCE(S): (1) Bernardi; US 3901942 A 1975
(2) Levy; US 5258372 A 1978
(4) Levy; US 5064821 A 1991 CAPLUS

L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2000 ACS (Continued)
(5) Levy; US 5589470 A 1996 CAPLUS
(7) Trustees Of Tufts College; WO 9308806 A1 1993 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

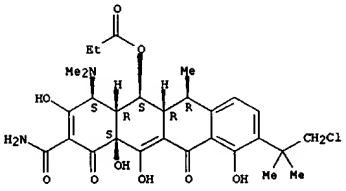
L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1999:487217 CAPLUS
DOCUMENT NUMBER: 131:116105
TITLE: synthesis and antibacterial activity of tetracycline-type compounds
INVENTOR(S): Levy, Stuart B.; Nelson, Mark L.
PATENT ASSIGNEE(S): Trustees of Tufts College, USA
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9937306	A1	19990729	WO 1999-US1343	19990122
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9923346	A1	19990809	AU 1999-23346	19990122
PRIORITY APPLN. INFO.:			US 1998-PV72262	19980123
			WO 1999-US1343	19990122

OTHER SOURCE(S): MARPAT 131:116105
AB Synthesis of substituted tetracycline-type compds. (I) (R1 = alkyl, alkenyl, alkynyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylamino, arylalkyl; R2 = alkanoyl, aroyl, alkylaroyl, carbacyclic aryl, heteroarom., alkyl, alkenyl, alkynyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylamino, arylalkyl; R3 = H, alkyl, alkenyl, alkynyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylamino, arylalkyl, carbacyclic aryl, heteroarom., heteroalicyclic) that exhibit significant antibacterial activity, including against both gram-pos. and gram-neg. bacteria is presented. Thus, I (R1 = Me3C, R2 = EtCO, R3 = H) (II) was prepd. in two steps by acylation of doxycycline with propionic acid followed by alkylation with t-butanol. II showed an MIC of 6.25 .mu.g/mL against methicillin resistant S. aureus.
IT 233586-00-0P
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant);

L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2000 ACS (Continued)
SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and antibacterial activity of tetracycline-type compds.)
RN 233586-00-0 CAPLUS
CN 2-Naphthacenecarboxamide, 9-(2-chloro-1,1-dimethylethyl)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-5-(1-oxopropoxy)-, (4S,4aR,5S,5aR,6R,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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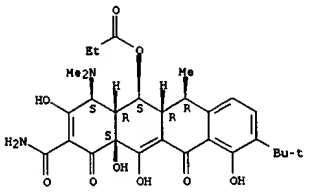
233586-55-5P 233586-56-6P 233586-57-7P
233586-62-4P 233586-63-5P 233586-66-8P
233586-68-0P 233586-69-1P 233586-71-5P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and antibacterial activity of tetracycline-type compds.)
RN 233585-95-0 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-9-(1,1-dimethylethyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-5-(1-oxopropoxy)-, (4S,4aR,5S,5aR,6R,12aS)- (9CI) (CA INDEX NAME)

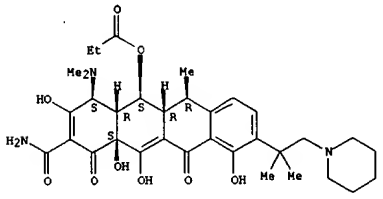
Absolute stereochemistry.

L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2000 ACS (Continued)



RN 233586-01-1 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-9-[1,1-dimethyl-2-(1-piperidinyl)ethyl]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-5-(1-oxopropoxy)-, (4S,4aR,5S,5aR,6R,12aS)- (9CI) (CA INDEX NAME)

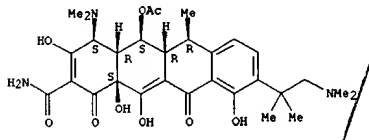
Absolute stereochemistry.



RN 233586-02-2 CAPLUS
CN 2-Naphthacenecarboxamide, 5-(acetyloxy)-4-(dimethylamino)-9-(1,1-dimethylethyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-, (4S,4aR,5S,5aR,6R,12aS)- (9CI) (CA INDEX NAME)

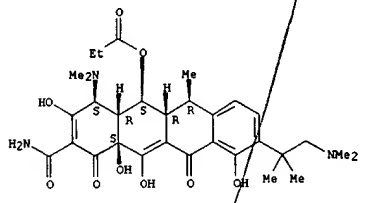
Absolute stereochemistry.

L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2000 ACS (Continued)



RN 233586-24-8 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-9-[2-(dimethylamino)-1,1-dimethylethyl]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-5-(1-oxopropoxy)-, (4S,4aR,5S,5aR,6R,12aS)- (9CI) (CA INDEX NAME)

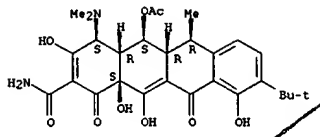
Absolute stereochemistry.



RN 233586-26-0 CAPLUS
CN Benzeneacetic acid, (4S,4aR,5S,5aR,6R,12aS)-2-(aminocarbonyl)-4-(dimethylamino)-9-[2-(dimethylamino)-1,1-dimethylethyl]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-5-naphthacenyl ester (9CI) (CA INDEX NAME)

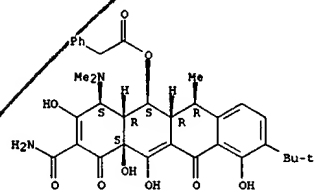
Absolute stereochemistry.

L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2000 ACS (Continued)



RN 233586-14-6 CAPLUS
CN Benzeneacetic acid, (4S,4aR,5S,5aR,6R,12aS)-2-(aminocarbonyl)-4-(dimethylamino)-9-(1,1-dimethylethyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-5-naphthacenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 233586-23-7 CAPLUS
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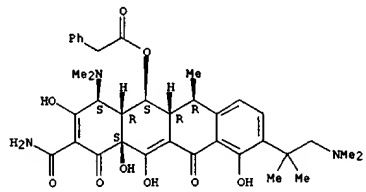
Absolute stereochemistry.

L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2000 ACS (Continued)



RN 233586-50-0 CAPLUS
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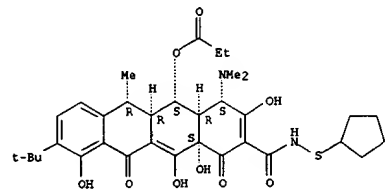
Absolute stereochemistry.



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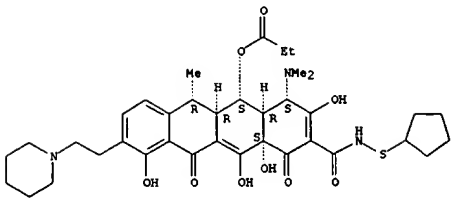
Absolute stereochemistry.

L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2000 ACS (Continued)



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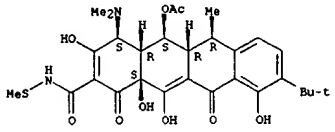
Absolute stereochemistry.



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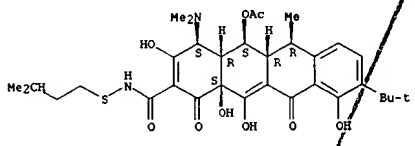
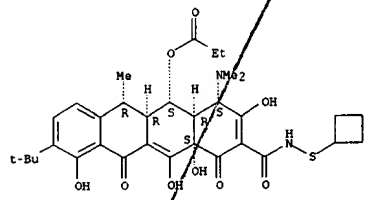
Absolute stereochemistry.

L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2000 ACS (Continued)



RN 233586-63-5 CAPLUS
CN 2-Naphthacenecarboxamide, N-(cyclobutylthio)-4-(dimethylamino)-9-(1,1-dimethylethyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-5-(1-oxopropoxy)-, (4S,4aR,5S,5aR,6R,12aS)- (9CI) (CA INDEX NAME)

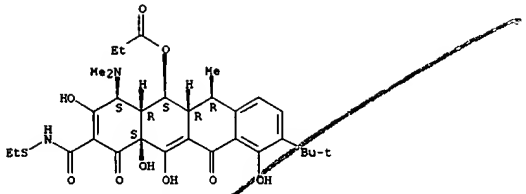
Absolute stereochemistry.



RN 233586-66-8 CAPLUS
CN Benzeneacetic acid, (4S,4aR,5S,5aR,6R,12aS)-4-(dimethylamino)-9-(1,1-dimethylethyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-2-[[(phenylthio)amino]carbonyl]-5-naphthacenyl ester (9CI) (CA INDEX NAME)

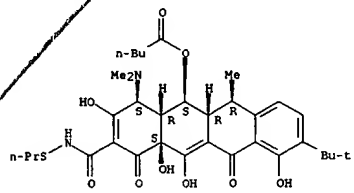
Absolute stereochemistry.

L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2000 ACS (Continued)



RN 233586-57-7 CAPLUS
CN Pentanoic acid, (4S,4aR,5S,5aR,6R,12aS)-4-(dimethylamino)-9-(1,1-dimethylethyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-2-[[(propylthio)amino]carbonyl]-5-naphthacenyl ester (9CI) (CA INDEX NAME)

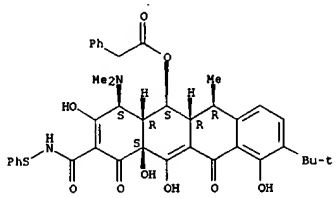
Absolute stereochemistry.



RN 233586-62-4 CAPLUS
CN 2-Naphthacenecarboxamide, 5-(acetyloxy)-4-(dimethylamino)-9-(1,1-dimethylethyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-N-[(3-methylbutyl)thio]-1,11-dioxo-, (4S,4aR,5S,5aR,6R,12aS)- (9CI) (CA INDEX NAME)

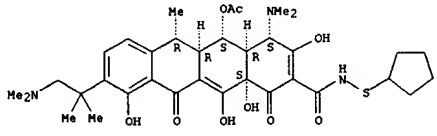
Absolute stereochemistry.

L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2000 ACS (Continued)



RN 233586-68-0 CAPLUS
CN 2-Naphthacenecarboxamide, 5-(acetyloxy)-N-(cyclopentylthio)-4-(dimethylamino)-9-[2-(dimethylamino)-1,1-dimethylethyl]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-, (4S,4aR,5S,5aR,6R,12aS)- (9CI) (CA INDEX NAME)

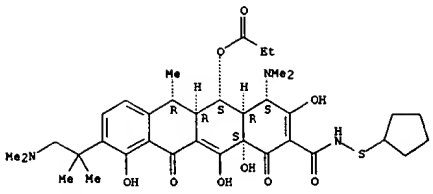
Absolute stereochemistry.



RN 233586-69-1 CAPLUS
CN 2-Naphthacenecarboxamide, N-(cyclopentylthio)-4-(dimethylamino)-9-[2-(dimethylamino)-1,1-dimethylethyl]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-5-(1-oxopropoxy)-, (4S,4aR,5S,5aR,6R,12aS)- (9CI) (CA INDEX NAME)

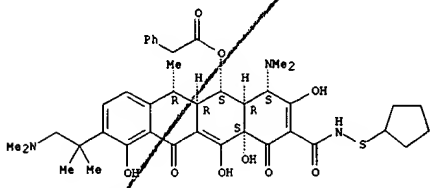
Absolute stereochemistry.

L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2000 ACS (Continued)



RN 233586-71-5 CAPLUS
CN Benzeneacetic acid, (4S,4aR,5S,5aR,6R,12aS)-2-[[(cyclopentylthio)amino]carbonyl]-4-(dimethylamino)-9-[2-(dimethylamino)-1,1-dimethylethyl]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-5-naphthacenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1
REFERENCE(S) in: (1) Sui; US 5834450 A 1998

=> d ibib ab hitstr

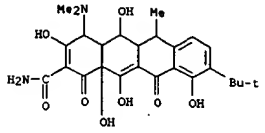
L13 ANSWER 1 OF 1 USPATFULL
ACCESSION NUMBER: 75:43716 USPATFULL
TITLE: Tetracycline derivatives substituted in the 7 position
and process for preparing the same
INVENTOR(S): Bernardi, Luigi, Milan, Italy
Colonna, Vincenzo, Milan, Italy
De Castiglione, Roberto, Milan, Italy
Masi, Paolo, Milan, Italy
PATENT ASSIGNEE(S): Societa' Farmaceutici Italia S.p.A., Milan, Italy (non-U.S. corporation)

	NUMBER	DATE
PATENT INFORMATION:	US 3901942	19750826
APPLICATION INFO.:	US 1973-397691	19730917 (5)

	NUMBER	DATE
PRIORITY INFORMATION:	IT 1972-29328	19720918

DOCUMENT TYPE: Utility
PRIMARY EXAMINER: Davis, C.
LEGAL REPRESENTATIVE: Hubbell, Cohen & Stiefel
NUMBER OF CLAIMS: 5
EXEMPLARY CLAIM: 1,2
LINE COUNT: 725
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Process for the preparation of tetracycline derivatives substituted in the 7 position comprising first obtaining tetracycline derivatives substituted in the 7 and 9 positions, transforming the substituent in the 7 position into the desired substituent, and then eliminating the substituent in the 9 position. Invention further comprises products obtained during the course of the above process.
IT **53108-30-8P**
(prepn. of)
RN 53108-30-8 USPATFULL
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-9-(1,1-dimethylethyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,5,10,12,12a-pentahydroxy-6-methyl-1,11-dioxo- (9CI) (CA INDEX NAME)

L13 ANSWER 1 OF 1 USPATFULL (Continued)



=> d ibib ab hitstr 1-3

L14 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1999:487218 CAPLUS
DOCUMENT NUMBER: 131:116106
TITLE: synthesis and antibacterial activity of tetracycline compds.
INVENTOR(S): Levy, Stuart B.; Nelson, Mark L.
PATENT ASSIGNEE(S): Trustees of Tufts College, USA
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

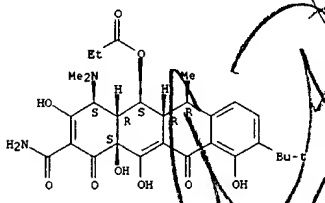
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9937307	A1	19990729	WO 1999-US1393	19990122
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9924660	A1	19990809	AU 1999-24660	19990122
PRIORITY APPLN. INFO.:			US 1998-PV72262	19980123
			WO 1999-US1393	19990122

OTHER SOURCE(S): MARPAT 131:116106

AB Synthesis of substituted tetracycline compds. (I) (R1 = alkyl, alkenyl, alkynyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylamino, arylalkyl; R2 = alkanoyl, aroyl, alkylaroyl, carbacyclic aryl, heteroarom., alkyl, alkenyl, alkynyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylamino, arylalkyl; R3 = H, alkyl, alkenyl, alkynyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylamino, arylalkyl, carbacyclic aryl, heteroarom., heterosalicyclic) that exhibit significant antibacterial activity, including gram-pos. and gram-neg. bacteria, and gram-pos. and gram-neg. tetracycline sensitive and tetracycline resistant bacteria is presented. Thus, I (R1 = Me3C, R2 = EtCO, R3 = H) (II) was prepd. in two steps by acylation of doxycycline with propionic acid followed by alkylation with t-butanol. II showed and MIC of 6.25 .mu.g/mL against methicillin resistant S. aureus.

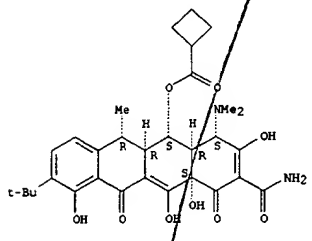
IT 233585-94-9P 233585-95-0P 233585-96-1P 233586-02-2P 233586-13-5P 233586-14-6P 233586-15-7P 233586-16-8P 233586-17-9P 233586-18-0P 233587-82-1P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and antibacterial activity of tetracycline compds.)

L14 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2000 ACS (Continued)



RN 233585-96-1 CAPLUS
CN Cyclobutanecarboxylic acid, (4S,4aR,5S,5aR,6R,12aS)-2-(aminocarbonyl)-4-(dimethylamino)-9-(1,1-dimethylethyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-5-naphthacenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



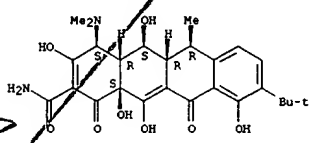
RN 233586-02-2 CAPLUS
CN 2-Naphthacenecarboxamide, 5-(acetyloxy)-4-(dimethylamino)-9-(1,1-dimethylethyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-, (4S,4aR,5S,5aR,6R,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2000 ACS (Continued)

RN 233585-94-9 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-9-(1,1-dimethylethyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,5,10,12,12a-pentahydroxy-6-methyl-1,11-dioxo-, (4S,4aR,5S,5aR,6R,12aS)- (9CI) (CA INDEX NAME)

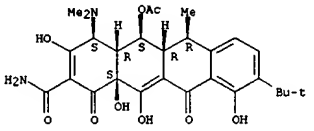
Absolute stereochemistry.



RN 233585-95-0 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-9-(1,1-dimethylethyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-5-(1-oxopropoxy)-, (4S,4aR,5S,5aR,6R,12aS)- (9CI) (CA INDEX NAME)

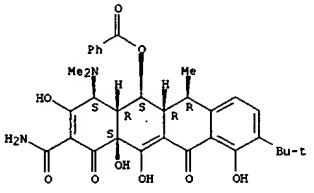
Absolute stereochemistry.

L14 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2000 ACS (Continued)



RN 233586-13-5 CAPLUS
CN 2-Naphthacenecarboxamide, 5-(benzoyloxy)-4-(dimethylamino)-9-(1,1-dimethylethyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-, (4S,4aR,5S,5aR,6R,12aS)- (9CI) (CA INDEX NAME)

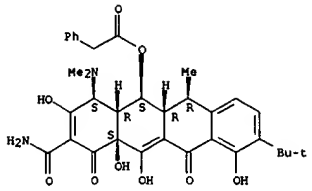
Absolute stereochemistry.



RN 233586-14-6 CAPLUS
CN Benzeneacetic acid, (4S,4aR,5S,5aR,6R,12aS)-2-(aminocarbonyl)-4-(dimethylamino)-9-(1,1-dimethylethyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-5-naphthacenyl ester (9CI) (CA INDEX NAME)

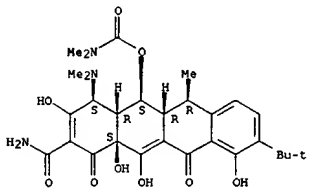
Absolute stereochemistry.

L14 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2000 ACS (Continued)



RN 233586-15-7 CAPLUS
CN Carbamic acid, dimethyl-, (4S,4aR,5S,5aR,6R,12aS)-2-(aminocarbonyl)-4-(dimethylamino)-9-(1,1-dimethylethyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-5-naphthacenyl ester (9CI) (CA INDEX NAME)

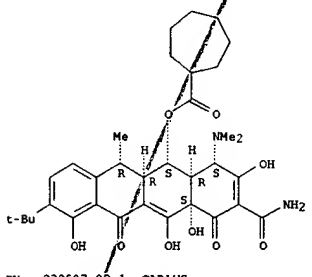
Absolute stereochemistry.



RN 233586-16-8 CAPLUS
CN Cyclopentanecarboxylic acid, (4S,4aR,5S,5aR,6R,12aS)-2-(aminocarbonyl)-4-(dimethylamino)-9-(1,1-dimethylethyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-5-naphthacenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2000 ACS (Continued)

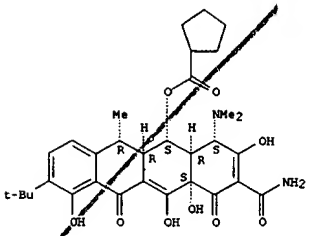


RN 233587-82-1 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-9-(1,1-dimethylethyl)-5-(formyloxy)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-, (4S,4aR,5S,5aR,6R,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

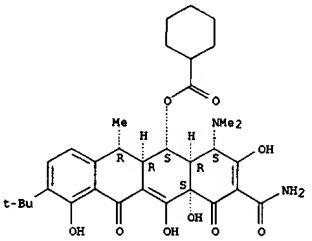
REFERENCE COUNT: 7
REFERENCE(S):
(1) Bernardi; US 3901942 A 1975
(2) Levy; US 5258372 A 1978
(4) Levy; US 5064821 A 1991 CAPLUS
(5) Levy; US 5589470 A 1996 CAPLUS
(7) Trustees Of Tufts College; WO 9308806 A1 1993 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2000 ACS (Continued)



RN 233586-17-9 CAPLUS
CN Cyclohexanecarboxylic acid, (4S,4aR,5S,5aR,6R,12aS)-2-(aminocarbonyl)-4-(dimethylamino)-9-(1,1-dimethylethyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-5-naphthacenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 233586-18-0 CAPLUS
CN Cycloheptanecarboxylic acid, (4S,4aR,5S,5aR,6R,12aS)-2-(aminocarbonyl)-4-(dimethylamino)-9-(1,1-dimethylethyl)-1,4,4a,5,5a,6,11,12a-octahydro-

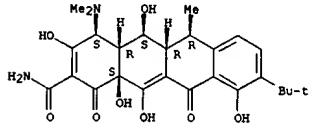
L14 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1999:487217 CAPLUS
DOCUMENT NUMBER: 131:116105
TITLE: synthesis and antibacterial activity of tetracycline-type compounds
INVENTOR(S): Levy, Stuart B.; Nelson, Mark L.
PATENT ASSIGNEE(S): Trustees of Tufts College, USA
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9937306	A1	19990729	WO 1999-US1343	19990122
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9923346	A1	19990809	AU 1999-23346	19990122

PRIORITY APPLN. INFO.: US 1998-PV72262 19980123
WO 1999-US1343 19990122
OTHER SOURCE(S): MARPAT 131:116105
AB Synthesis of substituted tetracycline-type compds. (I) (R1 = alkyl, alkenyl, alkynyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylamino, arylalkyl; R2 = alkanoyl, aroyl, alkylaroyl, carbacyclic aryl, heteroarom., alkyl, alkenyl, alkynyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylamino, arylalkyl; R3 = H, alkyl, alkenyl, alkynyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylamino, arylalkyl, carbacyclic aryl, heteroarom., heterocalicyclic) that exhibit significant antibacterial activity, including against both gram-pos. and gram-neg. bacteria is presented. Thus, I (R1 = Me3C, R2 = EtCO, R3 = H) (II) was prepd. in two steps by acylation of doxycycline with propionic acid followed by alkylation with t-butanol. II showed an MIC of 6.25 .mu.g/mL against methicillin resistant S. aureus.
IT **233585-94-9P 233585-95-0P 233585-96-1P 233586-02-2P 233586-13-5P 233586-14-6P**

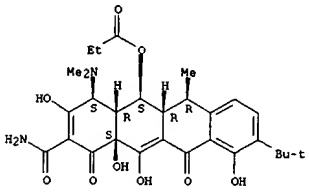
L14 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2000 ACS (Continued)
233586-13-7P 233586-16-8P 233586-17-9P
233586-18-0P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and antibacterial activity of tetracycline-type compds.)
RN 233585-94-9 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-9-(1,1-dimethylethyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,5,10,12,12a-pentahydroxy-6-methyl-1,11-dioxo-, (4S,4aR,5S,5aR,6R,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



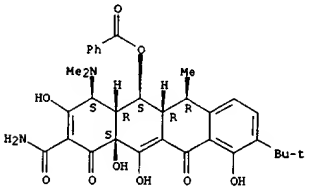
RN 233585-95-0 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-9-(1,1-dimethylethyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-5-(1-oxopropoxy)-, (4S,4aR,5S,5aR,6R,12aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



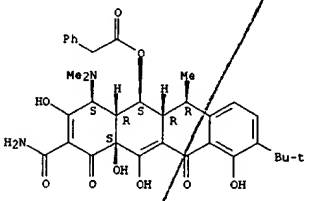
RN 233585-96-1 CAPLUS
CN Cyclobutanecarboxylic acid, (4S,4aR,5S,5aR,6R,12aS)-2-(aminocarbonyl)-4-

L14 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2000 ACS (Continued)



RN 233586-14-6 CAPLUS
CN Benzeneacetic acid, (4S,4aR,5S,5aR,6R,12aS)-2-(aminocarbonyl)-4-(dimethylamino)-9-(1,1-dimethylethyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-5-naphthacenyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

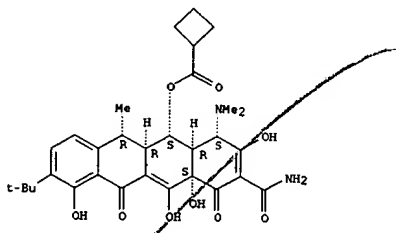


RN 233586-15-7 CAPLUS
CN Carbamic acid, dimethyl-, (4S,4aR,5S,5aR,6R,12aS)-2-(aminocarbonyl)-4-(dimethylamino)-9-(1,1-dimethylethyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-5-naphthacenyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

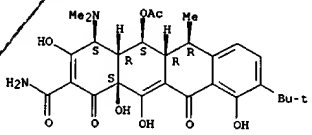
L14 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2000 ACS (Continued)
(dimethylamino)-9-(1,1-dimethylethyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-5-naphthacenyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RN 233586-02-2 CAPLUS
CN 2-Naphthacenecarboxamide, 5-(acetyloxy)-4-(dimethylamino)-9-(1,1-dimethylethyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-, (4S,4aR,5S,5aR,6R,12aS)- (9CI) (CA INDEX NAME)

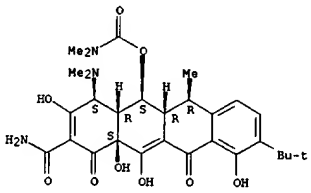
Absolute stereochemistry.



RN 233586-13-5 CAPLUS
CN 2-Naphthacenecarboxamide, 5-(benzoyloxy)-4-(dimethylamino)-9-(1,1-dimethylethyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-, (4S,4aR,5S,5aR,6R,12aS)- (9CI) (CA INDEX NAME)

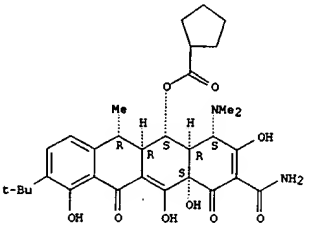
Absolute stereochemistry.

L14 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2000 ACS (Continued)



RN 233586-16-8 CAPLUS
CN Cyclopentanecarboxylic acid, (4S,4aR,5S,5aR,6R,12aS)-2-(aminocarbonyl)-4-(dimethylamino)-9-(1,1-dimethylethyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-5-naphthacenyl ester (9CI)
(CA INDEX NAME)

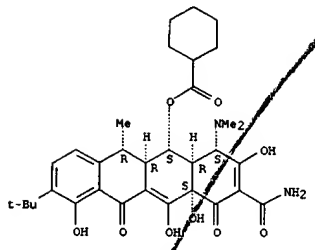
Absolute stereochemistry.



RN 233586-17-9 CAPLUS
CN Cyclohexanecarboxylic acid, (4S,4aR,5S,5aR,6R,12aS)-2-(aminocarbonyl)-4-(dimethylamino)-9-(1,1-dimethylethyl)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-5-naphthacenyl ester (9CI)
(CA INDEX NAME)

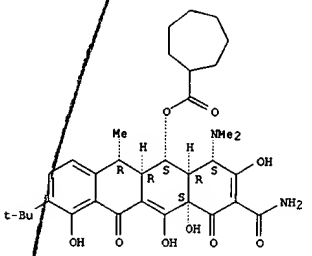
Absolute stereochemistry.

L14 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2000 ACS (Continued)



RN 233586-18-0 CAPLUS
CN Cycloheptanecarboxylic acid,
(4S,4aR,5S,5aR,6R,12aS)-2-(aminocarbonyl)-4-
(dimethylamino)-9-(1,1-dimethylethyl)-1,4,4a,5,5a,6,11,12a-octahydro-
3,10,12,12a-tetrahydroxy-6-methyl-1,11-dioxo-5-naphthacenyl ester
(9CI)
(CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1
REFERENCE(S): (1) Su; US 5834450 A 1998

L14 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1974:477746 CAPLUS
DOCUMENT NUMBER: 81:77746
TITLE: Tetracycline derivatives
INVENTOR(S): Bernardi, Luigi; Colonna, Vincenzo; De Castiglione,
Roberto; Masi, Paolo
PATENT ASSIGNEE(S): Societa Farmaceutici Italia
SOURCE: Ger. Offen., 39 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2346535	A1	19740411	DE 1973-2346535	19730915
DE 2346535	B2	19800911		
DE 2346535	C3	19810521		
NL 7312648	A	19740320	NL 1973-12648	19730913
NL 158172	B	19781016		
CA 999855	A1	19761116	CA 1973-181034	19730913
FR 2208885	A1	19740628	FR 1973-33067	19730914
JP 49069653	A2	19740705	JP 1973-104458	19730914
JP 57041458	B4	19820903		
ZA 7307317	A	19740925	ZA 1973-7317	19730914
AU 7360333	A1	19750320	AU 1973-60333	19730914
BE 804913	A1	19740318	BE 1973-135695	19730917
AT 7307996	A	19750615	AT 1973-7996	19730917
AT 328613	B	19760325		
US 3901942	A	19750826	US 1973-397691	19730917
GB 1413347	A	19751112	GB 1973-43564	19730917
HU 167850	P	19751225	HU 1973-SO1098	19730917
ES 418809	A1	19760316	ES 1973-418809	19730917
SU 574145	D	19770925	SU 1973-1957942	19730917

PRIORITY APPLN. INFO.: IT 1972-29328 19720918

AB Tetracycline derivs. I (R = H, R1 = e.g., Me, NH2, Me2NCH2, F3CCONHCH2; R2 = H, Me; R3 = H, OH) were prepd. by the selective alkylation of a tetracycline deriv. in the 9-position, followed by electrophilic substitution in the 7-position and dealkylation. Thus, I (R = R1 = R2 = R3 = H) was alkylated with Me2C:CH2 in (Me2N)3PO to give I (r = Me3C; R1 = R2 = R3 = H) which was nitrated with KNO3 and HF, then hydrogenated over PtO2 to give I (R = Me3C, R1 = NH2, R2 = R3 = H). Reaction of this product with HCHO in the presence of Pd-C followed by dealkylation with F3CSO3H in PhOMe gave I (R = R2 = R3 = H, R1 = Me2N). About 20 I were prepd.

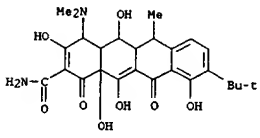
IT **53108-30-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 53108-30-8 CAPLUS
CN 2-Naphthacenecarboxamide, 4-(dimethylamino)-9-(1,1-dimethylethyl)-

L14 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2000 ACS (Continued)

L14 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2000 ACS (Continued)

1,4,4a,5,5a,6,11,12a-octahydro-3,5,10,12,12a-pentahydroxy-6-methyl-1,11-dioxo- (9CI) (CA INDEX NAME)



=> d all

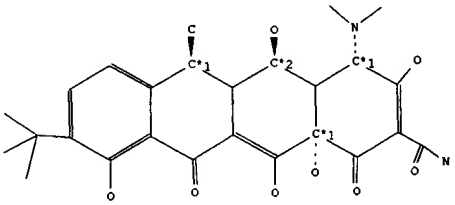
L15 ANSWER 1 OF 1 BEILSTEIN COPYRIGHT 2000 BEILSTEIN CD&S

Beilstein Reg. No. (BRN): 2199135 Beilstein
Molecular Formula (MF): C26 H32 N2 O8
Synonym (SY): 9-t-Butyl-.alpha.-6-deoxy-5-hydroxytetracyclin
Autonom Name (AUN): 9-tert-butyl-4-dimethylamino-3,5,10,12,12a-pentahydroxy-6-methyl-1,11-dioxo-1,4,4a,5,5a,6,11,12a-octahydro-naphthacene-2-carboxylic acid amide
Beilstein Reference (SO): 5-14
General Comments (NTE): Stereo compound
CAS Reg. No. (RN): 53108-30-8
Beilstein Pref. RN (BPR): 53108-30-8
Formula Weight (FW): 500.55
Lawson Number (LN): 16308; 2817

Ring System Data:

Number of Rings (CNR): 4
Ring Systems (CNRS): 1
Diff. Ring Systems (CNDRS): 1
Ring Heteros (CNRH): 0
Acyclic Heteros (CNAH): 10

Beilstein Ring Index (BRIX)	Ring System Formula (RF)	BRIX Count
18.4.12-0.0-5.3	C18	1



Atom/Bond Notes:
1. CIP Descriptor: S
2. CIP Descriptor: R

Preparation:

L15 ANSWER 1 OF 1 BEILSTEIN COPYRIGHT 2000 BEILSTEIN CD&S (Continued)
PRE
Reference(s):
1. Patent: Soc. Farm. Italia, DE 2346535 1974
Chem. Abstr., 81, 77746

CTUNCH Unchecked Data: NMR
Reference(s):
1. Patent: Soc. Farm. Italia, DE 2346535 1974
Chem. Abstr., 81, 77746
CTUNCH Unchecked Data: UV/VIS
Reference(s):
1. Patent: Soc. Farm. Italia, DE 2346535 1974
Chem. Abstr., 81, 77746

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=> d his

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     FILE 'REGISTRY' ENTERED AT 15:20:26 ON 03 JUN 2000
L1                STRUCTURE UPLOADED
L2              5 S L1
L3                STRUCTURE UPLOADED
L4              0 S L3
L5             19 S L3 FULL

     FILE 'CAPLUS' ENTERED AT 15:24:06 ON 03 JUN 2000
L6              2 S L5

     FILE 'USPATFULL' ENTERED AT 15:25:14 ON 03 JUN 2000
L7              0 S L5

     FILE 'MARPAT' ENTERED AT 15:25:26 ON 03 JUN 2000
L8              0 S L5

     FILE 'BEILSTEIN' ENTERED AT 15:25:53 ON 03 JUN 2000
L9              0 S L3 FULL
L10               STRUCTURE UPLOADED

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L12            12 S L10 FULL

     FILE 'USPATFULL' ENTERED AT 15:28:40 ON 03 JUN 2000
L13             1 S L12

     FILE 'CAPLUS' ENTERED AT 15:30:00 ON 03 JUN 2000
L14             3 S L12

     FILE 'BEILSTEIN' ENTERED AT 15:33:26 ON 03 JUN 2000
L15             1 S L10 FULL

     FILE 'REGISTRY' ENTERED AT 15:34:08 ON 03 JUN 2000
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